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(54) Compositions containing benzocaine

(57) A cosmetically elegant and stable oil-in-water emulsion for use as a topical anesthetic contains 0.5 - 15% benzocaine solubilized in water with a polypropylene glycol ether of butyl alcohol having the formula $\text{C}_4\text{H}_9\text{-(OCHCH}_2\text{)}_n\text{OH}$ where n is an integer having an average value of 15-53.

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SPECIFICATION

Stable topical anesthetic compositions

5 This invention relates to a stable cosmetically elegant lotion, cream or foam oil-in-water emulsion useful as a topical anesthetic which exhibits no microscopic crystallization. The preparation is designed for relief of surface pain and itching and provides soothing temporary relief of minor burns, cuts, scratches, sunburn and other minor skin irritations. 5

The most common pain relieving agent used in topical anesthetic compositions is benzocaine. Since benzocaine is only very slightly soluble in water, solvents other than water have generally been used in benzocaine preparations, such as the polyethylene glycol esters taught in U.S. Patent 3,322,624. Attempts to solubilize benzocaine in water using various surface-active agents have been made. However, the resulting preparations were generally found to be unstable with the benzocaine crystallizing or settling out, the problem being particularly acute at benzocaine concentrations over 0.5%. 10

15 Accordingly, it has now been found that a stable cosmetically elegant topical anesthetic formulation containing 0.5 to 15% of benzocaine can be prepared by incorporating 5 to 40% of a cosmetically acceptable polypropylene glycol ether of butyl alcohol which is a liquid at 10°C, has a viscosity at 37.8°C of 385-3000 Saybolt Universal Seconds, and has the formula $C_4H_9(OCH_2CH_2)_nOH$ 15

where n is an integer having an average value of 15-53. Although the precise mechanism of action is not known, these ethers will be referred to as solubilizers for the benzocaine. At least 5% benzocaine is preferred with the most preferred range of benzocaine in solution being 5 to 10%, which can generally be obtained by incorporating 15 to 30% of the solubilizer. All percentages mentioned throughout are by weight unless otherwise specified. 20

25 The preferred butyl ether solubilizers are those having an average value of 16-22 for n. The most preferred solubilizer has an average value of 18 for n and is designated as PPG-18 Butyl Ether. It is available from Union Carbide Corporation under the tradename UCON LB-385. These solubilizers generally have the additional advantage of imparting desirable emollient properties to the inventive composition. 25

One or more cosmetically acceptable surfactants are also necessary for the formulation. The particular surfactant(s) used is selected on the basis of skin and chemical compatibility, cost, type of emulsion desired as well as the shelf life stability required. Surfactants of the nonionic type are preferred in the formulation. Anionic surfactants are undesirable since they generally provide an alkaline environment in which benzocaine is less soluble. Cationic surfactants generally provide the desired acid environment but are generally found to be skin irritants and are accordingly cosmetically less desirable than the preferred nonionic surfactants. The number of suitable nonionic surfactants is legion; the most frequently used are: 30

(a) esters of a polyethylene glycol having a molecular weight between about 200 and 600 particularly with fatty acids having 12 to 18 carbon atoms, 35

(b) esters of sorbitol with fatty acids having 12 to 18 carbon atoms, e.g. Sorbitan Stearate, and the polyethenoxy ethers of said esters, e.g. Polysorbate-60, 40

(c) Polyethenoxy ethers of alkanes and alkyl phosphates having 12 to 18 carbon atoms, e.g. Coceth-6; PEG-75-Lanolin. 45

45 Concentrations of the nonionic surfactants would generally be in the range of 5 to 20% according to the solubilizer used and the benzocaine concentration desired. 45

Various optional ingredients may be included in the formulation such as perfumes; preservatives, e.g., parabens, antiseptics; pigments; humectants, e.g. PEG-8, propylene glycol; emollients, e.g. cetyl alcohol, lanolin; anti-oxidants; chelating agents, e.g. disodium EDTA; emulsion stabilizers, e.g. xanthan gum; dyes; propellants, e.g. propellant-12; foaming agents; viscosity control agents, e.g. paraffin, as well as any other class of material whose presence may be cosmetically or otherwise desirable. 50

The remainder of the composition would consist essentially of water which would generally be in the range of 30-90% with a preferred range of 40-70%. It is, of course, understood that water is the external phase of an oil-in-water emulsion. 55

The following nonlimiting examples are presented to further illustrate a foam, cream and lotion form of the invention. The terminology used is in conformance with the CTFA Cosmetic Ingredients Dictionary, Cosmetic Toiletries & Fragrance Association, 2nd Edition, 1977. Although for convenience the examples illustrate only PPG-18 Butyl Ether as the solubilizer, other polypropylene glycol butyl ethers as described above could similarly be used to solubilize the benzocaine. 60

EXAMPLE 1

A topical anesthetic lotion is prepared according to the following formulation:

<i>Part A</i>		<i>Weight (Kg.)</i>	
5	PPG-18 Butyl Ether	15.0	5
	Benzocaine	5.0	
	Coceth-6	5.5	
	Sorbitan Stearate	5.0	
10	Polysorbate-60	4.0	10
	Propylparaben	0.1	
<i>Part B</i>			
15	Methylparaben	0.2	15
	PEG-8 ("PEG" is a Registered Trademark)	3.0	
	Xanthan Gum	0.1	
20	Disodium EDTA	0.2	20
	Water	61.9	
		<hr/> 100.0 Kg. <hr/>	
25			25

The ingredients of Part A (oil phase) are heated and agitated at 80°C until the solids are melted. The ingredients of Part B (Water phase) are heated and agitated at 80°C until the solids dissolve. The mixture of Part A is then slowly added to the mixture of Part B while agitating. The entire batch is cooled to 30°C and agitated until uniformity results.

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EXAMPLE 2

The following cream formulation is prepared in a manner similar to Example 1:

<i>Part A</i>		<i>Weight (Kg.)</i>	
40	PPG-18 Butyl Ether	15.0	40
	Benzocaine	5.0	
	Coceth-6	13.5	
45	Cetyl Alcohol	1.0	45
	Paraffin	1.0	
	Lanolin	2.0	
<i>Part B</i>			
50	Methylparaben	0.2	50
	PEG-8	3.0	
	Xanthan Gum	0.3	
55	Disodium EDTA	0.1	55
	PEG-75 Lanolin	3.0	
	Water	55.9	
		<hr/> 100.0 Kg. <hr/>	

EXAMPLE 3

The following foam formulation concentrate is prepared in a manner similar to Example 1:

<i>Part A</i>		<i>Weight (Kg.)</i>	
5	PPG-18 Butyl Ether	17.0	5
	Benzocaine	5.0	
	Coceth-6	3.0	
	Polysorbate-60	2.5	
10	Sorbitan Stearate	1.0	10
	Propylparaben	0.1	
<i>Part B</i>			
15	Methylparaben	0.2	15
	Propylene Glycol	3.0	
	Disodium EDTA	0.1	
20	Water	68.1	20
		<u>100.0 Kg.</u>	

25 The final foam formulation contains 90% concentrate and 10% of a suitable propellant, such as propellant-12. 25

EXAMPLE 4

A topical anesthetic lotion is prepared in a manner similar to Example 1:

30	<i>Part A</i>	<i>Weight (Kg.)</i>	30
	PPG-18 Butyl Ether	20.0	
	Benzocaine	10.0	
	Coceth-6	5.5	
35	Sorbitan Stearate	5.0	35
	Polysorbate-60	4.0	
	Propylparaben	0.1	
40	<i>Part B</i>		40
	Methylparaben	0.2	
	PEG-8	3.0	
45	Xanthan Gum	0.1	45
	Disodium EDTA	0.2	
	Water	51.9	
50		<u>100.0 Kg.</u>	50

Numerous other variants of the above formulations will be apparent to one skilled in the art.

CLAIMS

- 55 1. A stable cosmetically elegant oil-in-water emulsion useful as a topical anesthetic comprising 0.5 to 15% of benzocaine, 5 to 40% of a cosmetically acceptable polypropylene glycol ether of butyl alcohol having the formula $C_4H_9(OCH_2CH_2)_nOH$ 55
- CH_3
- where n is an integer having an average value of 15-53, at least one cosmetically acceptable surfactant, and 60 water. 60
2. A composition according to claim 1 wherein n is 16-22.
3. A composition according to claim 2 wherein n is 18.
4. A composition according to claim 3 wherein the benzocaine concentration is from 5 to 10% and the ether concentration is from 15 to 30%.
- 65 5. A composition according to claim 1 wherein the benzocaine concentration is at least 5%. 65

6. A composition according to claim 1 wherein said surfactant comprises a nonionic surfactant.
7. A composition according to claim 6 wherein the concentration of said nonionic surfactant is in the range of 5 to 20%.
8. A composition according to claim 7 wherein the concentration of said water is in the range of 30 to 90%.

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